

FILE 'REGISTRY' ENTERED AT 14:21:47 ON 13 MAR 2009

L1 STRUCTURE UPLOADED

L2 15 S L1

L3 363 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:23:00 ON 13 MAR 2009

L4 4 S L3

=> file registry  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.22	0.22

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:21:47 ON 13 MAR 2009  
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STRUCTURE FILE UPDATES: 11 MAR 2009 HIGHEST RN 1119363-64-2  
DICTIONARY FILE UPDATES: 11 MAR 2009 HIGHEST RN 1119363-64-2

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

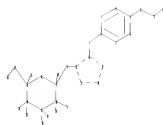
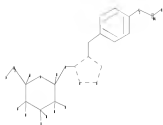
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experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

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Uploading C:\Program Files\STNEXP\Queries\10525197generic6.str



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chain nodes :
7 19 20 21 22 23 24 27 28 29 30 31 32 33 34
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
2-7 5-32 7-8 12-19 13-23 13-30 14-22 14-29 15-21 15-27 17-19 17-20 18-24
18-31 27-28 32-33 33-34
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18
exact/norm bonds :
5-32 8-9 8-12 9-10 10-11 11-12 12-19 13-14 13-18 13-30 14-15 14-29 15-16
16-17 17-18 17-19 18-31 32-33 33-34
exact bonds :
2-7 7-8 13-23 14-22 15-21 15-27 17-20 18-24 27-28
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

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G1:O,S,C

Match level :

L1        STRUCTURE    UPLOADED

SAMPLE SEARCH INITIATED 14:22:12 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 28 TO ITERATE

15 ANSWERS

L2 15 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN L-Alanine, N-[3-[3-methyl-4-[[3-(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-  
β-D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxopropyl]-  
phenylmethyl ester  
MF C41 H51 N3 O14

The chemical structure of compound 10 is a complex molecule. It features a benzimidazole core. The benzimidazole ring is substituted with an isopropyl group (i-Pr) at the 2-position and a phenyl ring at the 3-position. The phenyl ring is further substituted with a methyl group (Me) at the 4-position. The benzimidazole ring is also substituted with a sugar derivative at the 1-position. The sugar derivative is a six-membered ring with an oxygen atom at the top position. It has four OAc groups attached to it: one at the 2-position (wedge), one at the 3-position (dash), one at the 4-position (wedge), and one at the 5-position (dash). The sugar derivative is connected to the benzimidazole ring via an oxygen atom at the 1-position. The benzimidazole ring is also substituted with a methyl group (Me) at the 4-position. The benzimidazole ring is also substituted with a phenyl ring at the 3-position. The phenyl ring is further substituted with a methyl group (Me) at the 4-position. The benzimidazole ring is also substituted with a sugar derivative at the 1-position. The sugar derivative is a six-membered ring with an oxygen atom at the top position. It has four OAc groups attached to it: one at the 2-position (wedge), one at the 3-position (dash), one at the 4-position (wedge), and one at the 5-position (dash). The sugar derivative is connected to the benzimidazole ring via an oxygen atom at the 1-position.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

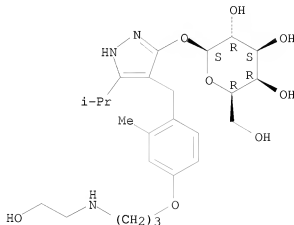
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L2 15 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN  $\beta$ -D-Galactopyranoside, 4-[[4-[3-[(2-hydroxyethyl)amino]propoxy]-2-methylphenyl]methyl]-5-(1-methylethyl)-1H-pyrazol-3-yl

MF C25 H39 N3 O8

Absolute stereochemistry.



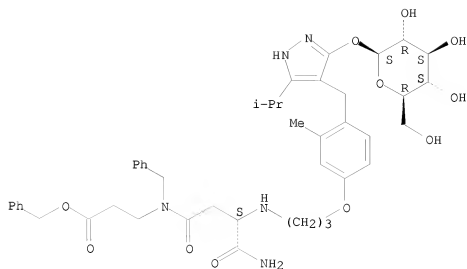
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 15 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN  $\beta$ -Alanine, N2-[3-[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]propyl]-L- $\alpha$ -asparaginyln-N-(phenylmethyl)-, phenylmethyl ester (9CI)

MF C44 H57 N5 O11

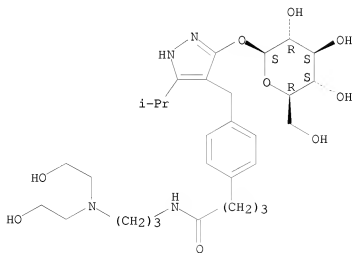
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 15 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Benzenebutanamide, N-[3-[bis(2-hydroxyethyl)amino]propyl]-4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-  
 MF C30 H46 N4 O9

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s ll sss full

FULL SEARCH INITIATED 14:22:49 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 671 TO ITERATE

100.0% PROCESSED 671 ITERATIONS 363 ANSWERS  
SEARCH TIME: 00.00.01

L3 363 SEA SSS FUL L1

=> file hcaplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	186.36	186.58

FILE 'HCAPLUS' ENTERED AT 14:23:00 ON 13 MAR 2009  
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FILE COVERS 1907 - 13 Mar 2009 VOL 150 ISS 12  
FILE LAST UPDATED: 12 Mar 2009 (20090312/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 4 L3

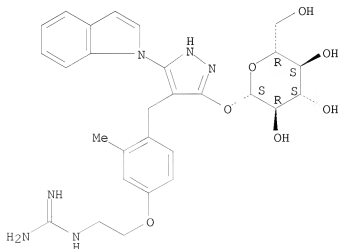
=> d l4 1-4 ti abs bib hitstr

L4 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2009 ACS ON STN  
TI Preventive or remedy for diseases caused by hyperglycemia  
AB It is intended to provide a medicinal composition containing as the active ingredient a selective SGLT1 inhibitor (for example, an SGLT1 inhibitor substantially showing no GLUT2 and/or GLUT5 inhibitory effect) which exerts a sugar absorption inhibitory effect over a wide range, also has a hypoglycemic effect caused by fructose intake in usual diet and thus can show an outstanding hypoglycemic effect and which is appropriate as a preventive or a remedy for diseases caused by hyperglycemia (for example, diabetes, impaired glucose tolerance, diabetic complications or obesity).  
AN 2004:486406 HCAPLUS <<LOGINID:20090313>>  
DN 141:47334  
TI Preventive or remedy for diseases caused by hyperglycemia  
IN Ito, Fumiaki; Shibazaki, Toshihide; Tomae, Masaki; Fushimi, Nobuhiko;

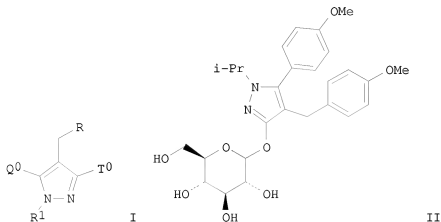
Isaji, Masayuki  
 PA Kissei Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004050122	A1	20040617	WO 2003-JP15503	20031204
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TR, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2507665	A1	20040617	CA 2003-2507665	20031204
	AU 2003289156	A1	20040623	AU 2003-289156	20031204
	EP 1568380	A1	20050831	EP 2003-777222	20031204
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1744916	A	20060308	CN 2003-80109504	20031204
	US 20060035844	A1	20060216	US 2005-537495	20050603
	IN 2005DN02385	A	20070105	IN 2005-DN2385	20050603
PRAI	JP 2002-352201	A	20021204		
	WO 2003-JP15503	W	20031204		
IT	705445-35-8P, 3-( $\beta$ -D-Glucopyranosyloxy)-4-[[4-(2-guanidinoethoxy)-2-methylphenyl]methyl]-5-indolyl-1H-pyrazole				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(SGLT1 inhibitors as preventives or remedies for diseases caused by hyperglycemia)				
RN	705445-35-8 HCAPLUS				
CN	Guanidine, [2-[[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1H-indol-1-yl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]ethyl]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



TI Preparation of pyrazolyl glycoside derivatives as inhibitors of  
1,5-anhydroglucitol/fructose/mannose transporters  
GI



AB The title compds. [I; R = each (un)substituted C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; R<sup>1</sup> = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; one of Q<sup>0</sup> and T<sup>0</sup> =  $\alpha$ - or  $\beta$ -D-glucopyranosyloxy or -mannopyranosyloxy or  $\beta$ -D-deoxyglucopyranosyloxy- and the other = (CH<sub>2</sub>)<sub>n</sub>Ar = each (un)substituted C6-10 aryl or C1-9 heteroaryl; n = an integer of 0-2] or pharmacol. acceptable salts or prodrugs thereof are prepared Also disclosed are medicinal composition containing the compound I, medicinal use thereof,

and intermediates in producing the same. These compds. exerts an excellent effect of inhibiting human 1,5-anhydroglucitol/fructose/mannose transporters and inhibit reabsorption or cellular uptake of glucose, fructose, and mannose in kidney or absorption of these saccharide small intestine and inhibit the increase in blood sugar. Therefore, they are useful as preventives, progress inhibitors or remedies for a disease caused by the over intake of at least one saccharide selected from among glucose, fructose, and mannose or a disease caused by hyperglycemia (diabetic complication, diabetes, or diabetic nephropathy). Thus, glycosidation of 1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1,2-dihydro-3H-pyrazol-3-one by acetobromo- $\alpha$ -D-glucose in the presence of benzyltributylammonium bromide in a mixture of CH<sub>2</sub>Cl<sub>2</sub> and 5 N aqueous NaOH at room temperature for

1.5 h followed by treatment of the product with NaOMe in MeOH gave 3-( $\beta$ -D-glucopyranosyloxy)-1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1H-pyrazole (II). II in vitro inhibited the uptake of [14C]methyl  $\alpha$ -D-glucopyranoside in COS-7 cells transfected with human SMINT/PME18S-FL expression plasmid with IC<sub>50</sub> of 92 nM.

AN 2004:311011 HCAPLUS <<LOGINID:20090313>>

DN 140:321649

TI Preparation of pyrazolyl glycoside derivatives as inhibitors of  
1,5-anhydroglucitol/fructose/mannose transporters

IN Fujikura, Hideki; Kikuchi, Norihiko; Tazawa, Shigeki; Yamato, Tokuhisa;  
Isaji, Masayuki

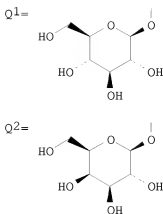
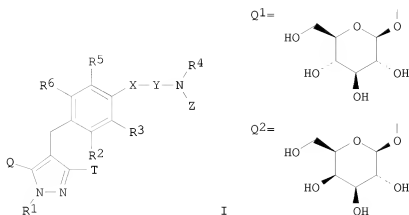
PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 159 pp.

CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

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PI	WO 2004031203	A1	20040415	WO 2003-JP12477	20030930
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	AU 2003272903	A1	20040423	AU 2003-272903	20030930
	EP 1550668	A1	20050706	EP 2003-753967	20030930
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	US 20060128635	A1	20060615	US 2005-529895	20050919
PRAI	JP 2002-293090	A	20021004		
	JP 2002-330694	A	20021114		
	JP 2002-378959	A	20021227		
	WO 2003-JP12477	W	20030930		
OS	MARPAT 140:321649				
IT	678994-69-9P 678994-70-2P 678994-71-3P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of pyrazolyl glycoside derivs. as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters and preventives, progress inhibitors or remedies for diabetic complication, diabetes, or diabetic nephropathy)				
RN	678994-69-9 HCAPLUS				
CN	Acetamide, 2-[4-[[3-(β-D-glucopyranosyloxy)-5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-4-yl)methyl]-3-methoxyphenoxy]- (CA INDEX NAME)				
L4	ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2009 ACS ON STN				
TI	Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof				

GI



AB Pyrazole derivs. represented by the general formula (I) [R1 = H, C1-6 alkyl, C2-6 alkenyl, hydroxy-C2-6 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl, each (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q1 or Q2 and the other = C1-6 alkyl, halo-C1-5 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R2 = H, halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = optionally hydroxy-substituted C1-6 alkylene or C2-6 alkenylene; Z = RB, CORC, SO2RC, CO(RD)RE, SO2NHRF, C(:NRG)N(RH)RI; wherein RC = each (un)substituted aryl, heteroaryl, or C1-6 alkyl; R4, RB, RD, RE, RF = H, each (un)substituted aryl, heteroaryl, or C1-6 alkyl; NR4RB or NRDRE together forms (un)substituted C2-6 cyclic amino; RG, RH, RI = H, (un)substituted C1-6 alkyl, etc.; R3, R5, R6 = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof are prepared. These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, fasting blood sugar abnormality, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, and gout and for diseases attributable to an increased blood glucose level such as galactosemia. For example, 3-(β-D-glucopyranosyloxy)-4-[[[4-[3-[3-(2-hydroxy-1,1-dimethylethyl)ureido]propoxy]-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole in vitro inhibited the uptake of [14C]methyl α-D-glucopyranoside in CHO-K1 cells expressing human SGLT1 with IC50 of 19 nM. For another example, 3-(β-D-glucopyranosyloxy)-4-[[[4-(2-guanidinoethoxy)-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered the serum glucose concentration from 303±63 (control) to 165±17 mg/dL after 1 h in rats with streptozotocin-induced diabetes.

AN 2004:182896 HCAPLUS <LOGINID:20090313>

DN 140:236000

TI Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Fushimi, Nobuhiko; Shimizu, Kazuo; Yonekubo, Shigeru; Teranishi, Hirotaka; Tomae, Masaki; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	CA 2496329	A1	20040304	CA 2003-2496329	20030821
	AU 2003262263	A1	20040311	AU 2003-262263	20030821
	EP 1548024	A1	20050629	EP 2003-792760	20030821
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	CN 1688597	A	20051026	CN 2003-824499	20030821
	CN 100413878	C	20080827		
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	NZ 538423	A	20070223	NZ 2003-538423	20030821
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	MX 2005002129	A	20050603	MX 2005-2129	20050223
	NO 2005001411	A	20050426	NO 2005-1411	20050317
	IN 2007DN07100	A	20071012	IN 2007-DN7100	20070913
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	WO 2003-JP10551	W	20030821		
	IN 2005-DN666	A3	20050221		
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzylpyrazolyl glucopyranosides and galactopyranosides as sodium-glucose cotransporter (SGLT1) inhibitors for prevention or treatment of diseases attributable to hyperglycemia or galactosemia)

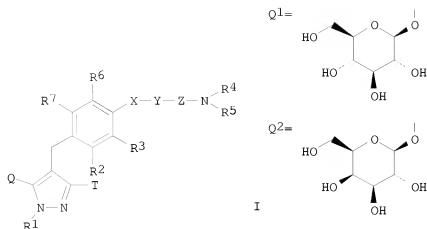
RN 666841-86-7 HCAPLUS

CN  $\beta$ -D-Glucopyranoside, 4-[[4-(3-aminopropoxy)phenyl]methyl]-5-(1-methylethyl)-1H-pyrazol-3-yl, 2,3,4,6-tetraacetate (CA INDEX NAME)

L4 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2009 ACS ON STN

TI Preparation of pyrazolyl glucopyranoside and galactopyranoside derivatives inhibitors of human sodium-glucose cotransporter 1 (SGLT1), medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

GI



AB Pyrazoles derivs. represented by the general formula (I) [R1 = H, C1-5 alkyl, C2-5 alkenyl, hydroxy-C2-5 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q1, Q2 and the other = C1-5 alkyl, halo-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R2 = H, halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = a single bond, C1-6 alkylene, C2-6 alkenylene; Z = CO, SO2; R4, R5 = H, (un)substituted C1-6 alkyl; or NR4R5 together forms an (un)substituted C2-6 cyclic amino; R3, R6, R7 = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof or prodrug of either are prepared These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for (1) diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesteremia, hypertriglyceremia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, or gout and (2) diseases attributable to high level of galactose, galactosemia. For example, 3-(β-D-glucopyranosyloxy)-4-[[4-[3-[2-hydroxy-1,1-bis(hydroxymethyl)ethylcarbonyl]propyl]phenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered blood glucose in diabetic rats from 297±35 to 178±19 mg/dL in 1 h.

AN 2004:143172 HCAPLUS <<LOGINID:20090313>>

DN 140:199632

TI Preparation of pyrazolyl glucopyranoside and galactopyranoside derivatives inhibitors of human sodium-glucose cotransporter 1 (SGLT1), medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Teranishi, Hirotaka; Fushimi, Nobuhiko; Yonekubo, Shigeru; Shimizu, Kazuo; Shibazaki, Toshihide; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014932	A1	20040219	WO 2003-JP10048	20030807

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	BR 2003013290	A	20050705	BR 2003-13290	20030807
	CN 1688596	A	20051026	CN 2003-823929	20030807
	CN 100351263	C	20071128		
	NZ 538117	A	20070126	NZ 2003-538117	20030807
	US 20060166899	A1	20060727	US 2005-523820	20050204
	US 7375087	B2	20080520		
	MX 2005001549	A	20050505	MX 2005-1549	20050208
	NO 2005001209	A	20050415	NO 2005-1209	20050308
	HK 1082743	A1	20080502	HK 2006-102572	20060227
	US 20080312153	A1	20081218	US 2008-104890	20080417
PRAI	JP 2002-232074	A	20020808		
	JP 2002-321729	A	20021105		
	WO 2003-JP10048	W	20030807		
	US 2005-523820	A3	20050204		
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of pyrazolyl glucopyranoside and galactopyranoside derivs.  
inhibitors of human sodium-glucose cotransporter 1 (SGLT1) for  
preventives or therapeutics for diseases related to hyperglycemia or  
galactosemia)

RN 661479-26-1 HCAPLUS

CN Benzenebutanamide, N-(2-amino-2-oxoethyl)-4-[[3-( $\beta$ -D-  
glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX  
NAME)